

## INTERNATIONAL SEARCH REPORT

International Application No.  
PCT/EP 03/10416

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D207/16 C07D205/08 C07C271/16 C07C59/01 C07C309/65

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D C07C

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, CHEM ABS Data, BEILSTEIN Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JUN-ICHI SAKAKI ET AL: "Synthesis of 1,3-Dioxin-4-ones and Their Use in Synthesis. XVIII. Synthesis of Azetidin-2-ones from 1,3-Dioxin-4-ones via 3-Hydroxycarboxamides" CHEMICAL & PHARMACEUTICAL BULLETIN, vol. 37, no. 11, 1989, pages 2952-2960, XP002266977 chart 2: examples 3d,4d,5	13,17
X	MICHAEL H. RABINOWITZ ET AL: "Design of Selective and Soluble Inhibitors of Tumor Necrosis Factor-alpha Converting Enzyme (TACE)" JOURNAL OF MEDICINAL CHEMISTRY, vol. 44, 2001, pages 4252-4267, XP002266978 Scheme 1: examples 5n and 6n	10,13, 17,30,31

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*G\* document member of the same patent family

Date of the actual completion of the international search

15 January 2004

Date of mailing of the international search report

10/02/2004

Name and mailing address of the ISA

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	MARVIN J. MILLER ET AL: "Synthesis of beta-Lactams from Substituted Hydroxamic Acids" JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, vol. 102, no. 23, 1980, pages 7026-7032, XP002266979 Scheme V: example 37	10, 30
A	JIN Y ET AL: "Inhibition stereochemistry of hydroxamate inhibitors for thermolysin" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 8, no. 24, 15 December 1998 (1998-12-15), pages 3515-3518, XP004150359 ISSN: 0960-894X Scheme 1	21
A	GRAF VON ROEDERN E ET AL: "DESIGN AND SYNTHESIS OF MALONIC ACID-BASED INHIBITORS OF HUMAN NEUTROPHIL COLLAGENASE (MMP8)" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, US, vol. 41, no. 3, 1998, pages 339-345, XP000919359 ISSN: 0022-2623 page 342, column 2 example 14; table 1	3
A	WO 99 39704 A (BRITISH BIOTECH PHARM ; DAVIES STEPHEN JOHN (GB); HUNTER MICHAEL GE) 12 August 1999 (1999-08-12) Scheme 1 example 12	1
X	EP 0 354 529 A (EASTMAN KODAK CO) 14 February 1990 (1990-02-14) examples 2A, 3A	30-34
X	RAMASWAMI, SURYAKUMARI ET AL: "Terpenoids. II. The Prins reaction on the isopropylidene-type double bonds" JOURNAL OF ORGANIC CHEMISTRY (1964), 29(8), 2245-8, XP002266980 page 2247, column 1, paragraph 1; example VIII	30

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	PFEFFER, PHILIP E. ET AL: ".alpha. Anions of carboxylic acids. V. Simple high yield preparation of .alpha.-alkylhydracrylic acids and .alpha.-alkylacrylic acids" JOURNAL OF ORGANIC CHEMISTRY (1972), 37(8), 1256-8 , XP002266981 table I	30-34
X	US 4 115 417 A (VALENTINE JR DONALD HERMAN) 19 September 1978 (1978-09-19) column 16, line 11	30
X	US 4 384 139 A (OHASHI TAKEHISA ET AL) 17 May 1983 (1983-05-17) column 7, line 66 -column 8, line 6	30
X	WO 02 070541 A (CHRISTENSEN SIEGFRIED B ;SMITHKLINE BEECHAM CORP (US); LEE JINHWA) 12 September 2002 (2002-09-12) preparations 4-6 schemata 1-3	10, 13, 17, 30, 31
X	DETLEF GEFFKEN ET AL: "Darstellung von Derivaten der 3-Hydroxypropiohydroxamsäure unter Anwendung der Carbodiimid-Methode" CHEMISCHE BERICHTE, vol. 106, 1973, pages 2246-2254, XP008026435 Scheme 1; examples 1a, 1d, 1e	10, 30
X	YONGHAO JIN ET AL: "A Practical Method for the Conversion of beta-Hydroxy Carboxylic Acids into the Corresponding beta-Amino Acids" SYNLETT, vol. 11, 1998, pages 1189-1190, XP008026436 Scheme 1; examples 1a, 1b, 1c	10, 17, 30

# INTERNATIONAL SEARCH REPORT

Information No.  
PCT/ISA/210/03/10416

## Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☒ Claims Nos.: 30-32 (all partially)  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 30-32 (all partially)

The initial phase of the search of claims 30-34 revealed a very large number of documents relevant to the issue of novelty. So many documents were retrieved that it is impossible to determine which parts of the claims may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT).

For these reasons it appears impossible to execute a meaningful search and/or to issue a complete search report over the whole breadth of the above mentioned claims.

The search and the report for those claims can only be considered as complete for compounds according to claim 33 and 34.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/10416

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
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			BR 9907689 A	14-11-2000
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